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                  U.S. National Patent Classification
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                  IFICDB, IFIPAT, and IFIUDB enhanced with new custom
                  IPC display formats
NEWS 15 MAR 31 CAS REGISTRY enhanced with additional experimental
NEWS 16 MAR 31
                  CA/CAplus and CASREACT patent number format for U.S.
                  applications updated
NEWS 17 MAR 31 LPCI now available as a replacement to LDPCI
NEWS 18 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 20 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new
                  predefined hit display formats
NEWS 21 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 22 APR 28 IMSRESEARCH reloaded with enhancements
NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3.
              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008
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chain nodes : 10 11 18 19 20 21 22 23 24 25 26 27 ring nodes : 1 2 3 4 5 6 7 8 9 12 13 14 15 16 17 chain bonds : 1-10 3-22 7-20 8-21 10-11 11-12 13-18 17-19 22-23 22-27 23-24 24-25 25-26

ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16 16-17
exact/norm bonds:
1-2 1-6 1-10 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 22-23 22-27 23-24 25-26 exact bonds:
2-2 7-20 8-21 11-12 13-18 17-19 24-25 normalized bonds:
12-13 12-17 13-14 14-15 15-16 16-17

Match level :

=> d 11

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS 21:CLASS 27:CLASS 27:CLASS 26:CLASS 27:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

L1 HAS NO ANSWERS
L1 O O OH NH NH NH NH

Structure attributes must be viewed using STN Express query preparation.

=> s 11 exact full FULL SEARCH INITIATED 18:25:16 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 22 TO ITERATE

100.0% PROCESSED 22 ITERATIONS SEARCH TIME: 00.00.01

22 ITERATIONS 2 ANSWERS

L2 2 SEA EXA FUL L1

=> file capl COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

60.31 60.52 FULL ESTIMATED COST

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=> s 12 L3

9 L2

=> d 13 1-9 ibib abs hitstr

L3 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410774 CAPLUS

DOCUMENT NUMBER: 146:421985

TITLE: Preparation of isotopically substituted (deuterated)

(fused) imidazopyridines for the treatment of

gastrointestinal disorders

INVENTOR(S): Kohl, Bernhard; Zimmermann, Peter Jan; Zech, Karl; Buhr, Wilm; Palmer, Andreas; Brehm, Christof; Chiesa,

Maria Vittoria; Kromer, Wolfgang; Postius, Stefan;

Simon, Wolfgang-Alexander; Holst, Hans Christof

PATENT ASSIGNEE(S): Altana Pharma AG, Germany SOURCE: PCT Int. Appl., 62pp.

CODEN: PIXXD2

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DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: ...

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE | | | |
|-----------------|-----------------|-------------------------|-------------|--|--|--|
| | | | | | | |
| WO 2007039464 | A1 20070412 | WO 2006-EP66544 | 20060920 | | | |
| W: AE, AG, AL, | AM, AT, AU, AZ, | BA, BB, BG, BR, BW, BY, | BZ, CA, CH, | | | |
| CN, CO, CR, | CU, CZ, DE, DK, | DM, DZ, EC, EE, EG, ES, | FI, GB, GD, | | | |
| GE, GH, GM, | HN, HR, HU, ID, | IL, IN, IS, JP, KE, KG, | KM, KN, KP, | | | |
| KR, KZ, LA, | LC, LK, LR, LS, | LT, LU, LV, LY, MA, MD, | MG, MK, MN, | | | |
| MW, MX, MY, | MZ, NA, NG, NI, | NO, NZ, OM, PG, PH, PL, | PT, RO, RS, | | | |
| RU, SC, SD, | SE, SG, SK, SL, | SM, SV, SY, TJ, TM, TN, | TR, TT, TZ, | | | |
| UA, UG, US, | UZ, VC, VN, ZA, | ZM, ZW | | | | |
| RW: AT, BE, BG, | CH, CY, CZ, DE, | DK, EE, ES, FI, FR, GB, | GR, HU, IE, | | | |
| IS, IT, LT, | LU, LV, MC, NL, | PL, PT, RO, SE, SI, SK, | TR, BF, BJ, | | | |
| CF, CG, CI, | CM, GA, GN, GQ, | GW, ML, MR, NE, SN, TD, | TG, BW, GH, | | | |

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: EP 2005-108764 A 20050922

OTHER SOURCE(S):

MARPAT 146:421985

EP 2006-101701 A 20060215

R3 R2 R1 R2 R1 R5 X

R6

AB Title compds. [I; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkenyl, alkynyl, fluoroalkyl, hydroxyalkyl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxycarbonyl, hydroxyalkyl, halo, alkenyl, alkynyl, fluoroalkyl, cyanomethyl; R3 = H, halo, alkyl, fluoroalkyl, CO2H, alkoxycarbonyl, hydroxyalkyl, alkoxyalkyl, fluoroalkoxyalkyl, etc.; R4, R5 = H, R6 = (substituted) Ph; or R4R5 = CHR7CHR8; R7, R8 = H, OH, alkoxy, cycloalkoxy, cycloalkylalkoxy, alkoxyalkoxy, fluoroalkoxy, hydroxyalkoxy, etc.; or R4 = H, R5R6 = Q1; Z = CHR11, CHR11CHR12; R9 = H, alkyl, hydroxyalkyl, alkoxy, alkenyloxy, aryloxy, etc.; R10 = H, alkyl, alkoxy, alkoxycarbonyl, halo, CF3, OH; R11, R12 = H, alkyl, alkenyl, OH, alkoxy, alkylcarbonylamino, etc.; X = O, NH; ≥1 of the H atoms of R1-R6 or of the core structure is replaced with D], were prepared Thus, Me 8-[(2,6-dimethylphenyl)dideuteromethylamino]-2,3-dimethylimidazo[1,2-a]pyridine-6-carboxylate (preparation given) was heated 1 h with ethanolamine to give 73% 8-[(2,6dimethylphenyl)dideuteromethylamino]-N-(2-hydroxyethyl)-2,3dimethylimidazo-6-carboxamide. The latter inhibited H+/K+-ATPase with -lq IC50 = 6.0.

IT 934248-01-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USBS)

(claimed compound; preparation of isotopically substituted (deuterated) (fused) imidazopyridines for the treatment of gastrointestinal disorders)

RN 934248-01-8 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyld2]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:1173242 CAPLUS

DOCUMENT NUMBER: 145:489255

TITLE: Preparation of mutual prodrug compounds for use as

antiinflammatory agents with gastrointestinal

protective activity

INVENTOR(S): Brehm, Christof; Klein, Thomas; Buhr, Wilm; Chiesa, Maria Vittoria; Palmer, Andreas; Zimmermann, Peter

Jan; Simon, Wolfgang-Alexander; Kromer, Wolfgang;

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

Postius, Stefan; Grundler, Gerhard PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 70pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

REFERENCE COUNT:

| PATENT NO. | | | | | | KIN | | DATE | | | | ICAT | | | | | | | |
|------------|-----|------|------|------|-----|-----|-----|------------|------|------|------|----------------|------|-----|-----|-----|--------------|-----|--|
| Ţ | ΙO | 2006 | 1173 | 15 | | A1 | | 2006 | 1109 | 1 | WO 2 | 006- | EP61 | 850 | | 2 | 0060 | 126 | |
| | | W: | | | | | | AU, | | | | | | | | | | | |
| | | | | | | | | DE, | | | | | | | | | | | |
| | | | | | | | | ID, | | | | | | | | | | | |
| | | | | | | | | LT, | | | | | | | | | | | |
| | | | | | | | | NZ,
TJ, | | | | | | | | | | | |
| | | | | | | ZM, | | 10, | 111, | TIN, | IR, | 11, | 14, | UA, | uu, | 05, | 04, | vc, | |
| | | PW. | | | | | | CZ, | DE | DK | EE | ES | FT | FR | GB | GR | нп | TE | |
| | | | | | | | | MC, | | | | | | | | | | | |
| | | | | | | | | GN, | | | | | | | | | | | |
| | | | | | | | | NA. | | | | | | | | | | | |
| | | | KG, | KΖ, | MD, | RU, | TJ, | TM | | | | | | | | | | | |
| 1 | ΑU | 2006 | 2432 | 54 | | A1 | | 2006: | 1109 | - 1 | AU 2 | 006- | 2432 | 54 | | 2 | 0060 | 126 | |
| (| CA | 2605 | 895 | | | A1 | | 2006: | 1109 | | CA 2 | 006- | 2605 | 895 | | 2 | 0060 | 126 | |
| I | ΞP | 1879 | 891 | | | A1 | | 2008 | 0123 | 1 | EP 2 | 006- | 7548 | 65 | | 2 | 0060 | 126 | |
| | | R: | | | | | | CZ, | | | | | | | | | | | |
| | | | | | | | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | |
| | | | | HR, | | YU | | | | | | | | | | | | | |
| PRIOR: | ITY | APP | LN. | INFO | . : | | | | | | | 005-1
006-1 | | | | | 0050
0060 | | |
| | | | | | | | | | | | | | | | | | | | |

- AB The invention concerns A-Y-X-z-C(O)O-B (A is derived from ACO2H having antipyretic, analgesic, antiphlogistic and/or antiinflammatory properties; B is derived from HOB that are potassium competitive acid blockers; X = bond or linker (e.g. (un)substituted -(CH2)nOm(CH2)pOg(CH2)r (n = 1-7; m = 0, 1; p = 0-7; q = 0, 1; r = 0-7)); Y = -C(0)0- with A attached to the carbonyl carbon; z = bond, -O-, -CHR1- or -NR1- (R1 = H or C1-4 alkyl); or X, Y and z together form a bond; addnl. details including provisos are given in the claims; e.g. (S)-2-(6-methoxynaphthalen-2-yl)propionic acid 3-[[((7R,8R,9R)-2,3-dimethyl-7-(2-methoxyethoxy)-9-phenyl-7,8,9,10tetrahydroimidazo[1,2-h][1,7]naphthyridin-8-y1]oxy]carbonyl]propyl ester (shown as I)) and their salts. The compds. are prodrugs and exhibit in the human and/or animal body antipyretic, analgesic, antiphlogistic and/or antiinflammatory activity as well as gastric acid secretion inhibiting and therefore gastro and intestinal protective activity. Although the methods of preparation are not claimed, prepns. and/or characterization data for 23 examples of I and similar compds. are included. For example, I was prepared from (S)-2-(6-methoxynaphthalen-2-y1)propionic acid and 4-hydroxybutyric acid (7R,8R,9R)-2,3-dimethyl-7-(2-methoxyethoxy)-9-phenyl-7,8,9,10tetrahydroimidazo[1,2-h][1,7]naphthyridin-8-yl ester in THF using DMAP and toluenesulfonyl chloride. Data are provided for the inhibition of gastric acid secretion by 2 examples of I or similar compds. and for inhibition of COX-1/2 by 11 examples of I or similar compds.
- IT 248919-64-4, 2,3-Dimethyl-8-[(2,6-dimethylbenzyl)amino]-6-[N-(2-hydroxyethyl)aminocarbonyl]imidazo[1,2-a]pyridine
 - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of mutual prodrug compds. for use as antiinflammatory agents with gastrointestinal protective activity)
- RN 248919-64-4 CAPLUS
- CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

2 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:570894 CAPLUS DOCUMENT NUMBER: 143:83527

REFERENCE COUNT:

TITLE: Crystalline forms of 2,3-dimethyl-8-(2,6-

dimethylbenzylamino)-N-hydroxyethylimidazo[1,2-

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

a]pyridine-6-carboxamide mesylate salt INVENTOR(S): Lilljequist, Lars; Lindkvist, Maria; Nordberg, Peter;

Pettersson, Ursula; Sebhatu, Tesfai

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 66 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2005058895 A1 20050630 WO 2004-SE1909 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004299435 20050630 AU 2004-299435 20041216 CA 2549144 A1 20050630 CA 2004-2549144 20041216 EP 1697360 A1 20060906 EP 2004-809082 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU CN 1894246 20070110 CN 2004-80037988 20041216 Α BR 2004017640 Α 20070327 BR 2004-17640 20041216 JP 2007514744 т 20070607 JP 2006-545292 20041216 IN 2006DN03006 Α 20070803 IN 2006-DN3006 20060525 MX 2006PA06708 A 20060818 MX 2006-PA6708 20060613

US 20070112021 A1 20070517 US 2006-582838 20060614 NO 2006003309 20060914 NO 2006-3309 20060717 Α A 20031218 PRIORITY APPLN. INFO .: SE 2003-3451 WO 2004-SE1909 W 20041216

AR The present invention relates to novel crystalline forms of 2,3-dimethyl-8-(2,6-dimethylbenzylamino)-N-hydroxyethylimidazo[1,2a]pyridine-6-carboxamide mesylate salt (I) and to mixture thereof. Further, the present invention also relates to processes for obtaining them, the use of the compds. for the treatment of gastrointestinal disorders, and pharmaceutical compns. containing them. 2,3-Dimethyl-8-(2,6dimethylbenzylamino)-N-hydroxyethylimidazo[1,2-a]pyridine-6-carboxamide was treated with methanesulfonic acid in EtOH to give crystals of I Form A. The compound was characterized by x-ray crystallog.

ΤТ 248919-64-4

RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(crystalline forms of (dimethylbenzylamino)hydroxyethylimidazopyridinecarbox amide)

248919-64-4 CAPLUS RN

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2 \\ \text{NH} \\ \text{HO-CH}_2\text{-CH}_2\text{-NH-C} \\ \text{O} \\ \end{array}$$

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409313 CAPLUS

DOCUMENT NUMBER: 142:457095

TITLE: Imidazo [1,2-a] pyridine derivatives for the treatment

of silent gastro-esophageal reflux

INVENTOR(S): Fernstroem, Paula; Hasselgren, Goeran PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | ENT | NO. | | | KIN |) | DATE | | | APPL | ICAT: | I NOI | NO. | | D | ATE | |
|-----|------|------|-----|-----|-----|-----|------|------|-----|------|-------|-------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | | | |
| WO | 2005 | 0419 | 61 | | A1 | | 2005 | 0512 | | WO 2 | 004- | SE15 | 89 | | 20 | 0041 | 103 |
| | W. | AE. | AG. | AL. | AM. | AT. | AII. | AZ. | BA. | BB. | BG. | BR. | BW. | BY. | BZ. | CA. | CH. |

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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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              SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR,
              NE, SN, TD, TG
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                                                                        20041103
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              IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS
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                                               IN 2006-DN1943
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                                               NO 2006-2570
     NO 2006002570
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                                  20060803
                                                                        20060602
PRIORITY APPLN. INFO .:
                                               US 2003-517125P
                                                                     P 20031103
                                               WO 2004-SE1589
                                                                     W 20041103
OTHER SOURCE(S):
                          MARPAT 142:457095
```

AR The present invention relates to a new method of treatment of sleep disturbance due to silent gastro-esophageal reflux. The invention further relates to the use of potassium-competitive acid blockers (P-CAB's) which inhibit the enzyme responsible for gastric acid secretion (H+/K+-ATPase). In particular, the present invention relates to the use of certain imidazo (1,2-a)pyridines derivs. (I wherein R1 = H, Me or Et: R2 = Me or Et; R3 and R4 = H, C1-6 alkyl, hydroxylated C1-6 alkyl or halogen; R5 = H or halogen; R6 and R7 = H, C1-6 alkyl, hydroxylated C1-6 alkyl or C1-6 alkoxy-substituted C1-6 alkyl and X = NH or O) in said treatment.

248919-64-4

RN CN

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(imidazo[a]pyridine derivs. for treatment of silent gastro-esophageal reflux and sleep disturbances in relation to potassium-competitive acid secretion blockade)

248919-64-4 CAPLUS

Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:1059201 CAPLUS

DOCUMENT NUMBER: 142:32977

TITLE: Pharmaceutical combinations of a proton pump inhibitor

and a compound which modifies gastrointestinal

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

motility

REFERENCE COUNT:

INVENTOR(S): Zimmermann, Peter Jan; Chiesa, M. Vittoria; Palmer,

Andreas; Brehm, Christof; Klein, Thomas;

Senn-Bilfinger, Joerg; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Grundler, Gerhard; Hanauer, Guido;

Buhr, Wilm; Postius, Stefan

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| INI . | INFOR | INA I I | ON: | | | | | | | | | | | | | | | |
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| | 2004 | | | | | | | | | | | | | | | 0040 | 526 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KΡ, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | ΤJ, | TM, | TN, | TR, | ΤT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | BW, | GH, | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | ТJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
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| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | | TD, | | | | | | | | | | | | | | | |
| | 2004 | | | | | | | | | | | | | | | | | |
| | 2526 | | | | | | | | | | | | | | | | | |
| EP | 1644 | 043 | | | A1 | | 2006 | 0412 | | EP 2 | 004- | 7416 | 58 | | 2 | 0040 | 526 | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | | | RO, | | | | | | | | | | | HE |
| JP | 2006 | 5282 | 31 | | T | | 2006 | 1214 | | JP 2 | 006- | 5302 | 22 | | 2 | 0040 | 526 | |
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NO 2005005968 A 20051215 NO 2005-5968 20051215 A 20030527 PRIORITY APPLN. INFO .: EP 2003-11875 A 20040525 EP 2004-102304 WO 2004-EP50936 W 20040526

AR The invention relates to the combination of certain active compds. from the acid pump antagonist class and compds. which modify gastrointestinal motility. The acid pump antagonist class is selected from a tricyclic imidazopyridine and the gastrointestinal motility modifier is selected from a 5-HT-(partial)-agonist/antagonist.

248919-64-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical combinations of proton pump inhibitor and modifier of gastrointestinal motility)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{CH}_2 \\ \text{CH}_2 \\ \text{NH} \\ \text{HO-CH}_2\text{-CH}_2\text{-NH-C} \\ \text{O} \end{array}$$

16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:913040 CAPLUS

DOCUMENT NUMBER: 139:375018

TITLE: Combinations containing proton pump inhibitors for the

treatment of airway disorders INVENTOR(S): Hanauer, Guido; Kromer, Wolfgang; Postius, Stefan;

Simon, Wolfgang-Alexander

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

REFERENCE COUNT:

| PATENT N | PATENT NO. | | | | | DATE | | | APPLICATION NO. | | | | DATE | | | |
|----------|------------|-----|-----|-----|-----|------|------|-----|-----------------|-------|------|-----|------|-----|-------|-----|
| | | | | | - | | | | | | | | | - | | |
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| W: | AE, | AL, | AU, | BA, | BR, | CA, | CN, | CO, | CU, | DZ, | EC, | GE, | HR, | ID, | IL, | IN, |
| | IS, | JP, | KR, | LT, | LV, | MA, | MK, | MX, | NO, | NZ, | PH, | PL, | SG, | TN, | UA, | US, |
| | VN, | YU, | ZA, | ZW | | | | | | | | | | | | |
| RW: | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, |

DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR AU 2003227710 20031111 AU 2003-227710 Α1 20030503 CA 2484272 20031120 CA 2003-2484272 A1 20030503 EP 1506016 A2 20050216 EP 2003-725140 20030503 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2003009808 Α 20050301 BR 2003-9808 20030503 CN 2003-810400 CN 1652822 Α 20050810 20030503 20050922 JP 2005528418 Т JP 2004-503050 20030503 IN 2004MN00536 Α 20050513 IN 2004-MN536 20040928 ZA 2004007896 Α 20060628 ZA 2004-7896 20040930 MX 2004PA11018 Α 20050125 MX 2004-PA11018 20041105 US 20050222193 A1 20051006 US 2004-513598 20041105 NO 2004005343 Α 20041206 NO 2004-5343 20041206 PRIORITY APPLN. INFO.: EP 2002-10305 A 20020507 WO 2003-EP4653 W 20030503

A method for treating airway disorders comprises a reversible proton pump inhibitor and an airway therapeutic to be taken simultaneously (as a fixed oral combination) or in succession (one directly after the other or else within a relatively large time span). The reversible proton pump inhibitor is, e.g., Soraprazan or its salt, and the airway therapeutic is, e.g., Ciclesonide.

248919-64-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oral combination of reversible proton pump inhibitors and airway therapeutics for treatment of airway disorders)

248919-64-4 CAPLUS RN

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2 \\ \text{NH} \\ \text{HO-CH}_2\text{-CH}_2\text{-NH-C} \\ \text{N} \\ \text{Me} \\ \end{array}$$

L3 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2002:637503 CAPLUS

137:190728 DOCUMENT NUMBER:

TITLE: Novel modified release formulation containing

carboxamide derivatives for inhibition of secretion of gastric acid

INVENTOR(S): Juppo, Anne PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 35 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

| | | | | | | | | | APPLICATION NO. | | | | | | | | | |
|---------|-------|------|------|-----|------|-----|------|------|-----------------|----|-------------------------|------|-----|-----|------|------|-----|--|
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| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE | , KG, | KP, | KR, | KZ, | LC, | LK, | LR, | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN | , MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | |
| | | PL, | PT. | RO, | RU, | SD, | SE, | SG, | SI, | SK | , SL, | TJ, | TM. | TN, | TR. | TT. | TZ, | |
| | | UA, | UG, | US, | UZ, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ | , TZ, | UG, | ZM, | ZW, | AT, | BE, | CH, | |
| | | CY, | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE | , IT, | LU, | MC, | NL, | PT, | SE, | TR, | |
| | | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ | , GW, | ML, | MR, | NE, | SN, | TD, | TG | |
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| JP | 2004 | 5187 | 8 0 | | T | | 2004 | 0624 | | JΡ | 2002- | 5639 | 14 | | 2 | 0020 | 208 | |
| NZ | 5269 | 93 | | | A | | 2005 | 0128 | | NZ | 2002- | 5269 | 93 | | 2 | 0020 | 208 | |
| AT | 3248 | 71 | | | T | | 2006 | 0615 | | ΑT | 2002-
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2002- | 7106 | 45 | | 2 | 0020 | 208 | |
| PT | 1368 | 006 | | | T | | 2006 | 0831 | | PT | 2002- | 7106 | 45 | | 2 | 0020 | | |
| | 2261 | | | | Т3 | | 2006 | 1116 | | ES | 2002- | 7106 | 45 | | 2 | 0020 | 208 | |
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| PRIORIT | Y APP | LN. | INFO | . : | | | | | | | 2001- | | | | | | | |
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| | | | | | | | | | | WO | 2002- | SE22 | 7 | 1 | vi 2 | 0020 | 208 | |
| OTHER S | DURCE | (S): | | | MARI | PAT | 137: | 1907 | 28 | | | | | | | | | |

Ι

GI

AB A multiparticulate (particle size < 300 μm), modified-release solid dispersion formulation comprises (i) a drug substance having a pH-dependent solubility, i.e., compound I (RI = H, Me, Et; R2 = Me, Et; R3, R4

H, Cl-6 alkyl, hydroxylated Cl-6 alkyl, halogen; R5 = H, halogen; R6, R7 = H, Cl-6 alkyl, hydroxylated Cl-6 alkyl, Cl-6 alkoxy-substituted Cl-6 alkyl; X = NH, O) or a pharmaceutically acceptable salt thereof; (ii) a

hydrophobic matrix former which is a water-insol., non-swelling amphiphilic lipid; and (iii) a hydrophilic matrix former which is a meltable, water-soluble excipient. The weight ratio of hydrophobic matrix former/hydrophilic matrix former is ≥1 and the particle size is less than 300 µm. Also a unit dosage form of the compound I, as well as a process for its preparation, and the use of the formulation and unit dosage form for inhibiting the secretion of gastric acid are described. For example, multiparticulate, modified-release formulation was prepared by dissolving 1 g of 2,3-dimethvl-8-(2-ethvl-6-methvlbenzvlamino)imidazo[1,2alpyridine-6-carboxamide mesylate in a melt of 4 g myristic acid at 90° and adding 2 g of polyethylene glycol 4000 (PEG 4000) into the melt. The melted mixture was atomized at 90° and the particles were collected into a vessel which was kept on ice. The resulted particles were spherical and < 300 μm in size. The amount of 3 q of particles were blended with 5.85 g microcryst. cellulose and 0.016 g sodium stearyl fumarate and compressed into 450 mg tablets. The dissoln. of tablets was 52-56% in 3 h.

248919-64-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (controlled-release formulation containing imidazopyridine carboxamide derivs. for inhibition of gastric acid secretion)

RN 248919-64-4 CAPLUS CN

Imidazo[1,2-a]pvridine-6-carboxamide, 8-[[(2,6dimethylphenyl)methyllaminol-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2002:185119 CAPLUS

DOCUMENT NUMBER: 136:249369

TITLE: Process for preparing a substituted imidazopyridine

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

compound INVENTOR(S): Elman, Bjoern; Erback, Silke; Thiemermann, Eric

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 27 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

REFERENCE COUNT:

PATENT NO. KIND DATE APPLICATION NO. DATE

| | | | | | | _ | | | | | | | | | | | |
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| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | M | I, MW, | MX, | MZ, | NO, | NZ | PH, | PL, |
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| | | | | | YU, | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ | , TZ, | UG, | ZW, | AT, | BE, | CH, | CY, |
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| HU | 2003 | 0022 | 77 | | A3 | | 2003 | 1229 | | | | | | | | | |
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| PT | 1317 | 455 | | | T | | 2004 | 1130 | | PΤ | 2001- | 9636 | 65 | | - : | 20010 | 905 |
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| CZ | 2949 | 57 | | | В6 | | 2005 | 0413 | | CZ | 2003- | 643 | | | - : | 20010 | 905 |
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| RŲ | 2275 | 372 | | | C2 | | 2006 | 0427 | | RU | 2003- | 1049 | 87 | | - 2 | 20010 | 905 |
| ZA | 2003 | 0011 | 71 | | A | | 2004 | 0318 | | ZA | 2003- | 1171 | | | - 3 | 20030 | 212 |
| IN | 2003 | MN00: | 220 | | A | | 2006 | 0505 | | IΝ | 2003- | MN22 | 0 | | - 2 | 20030 | 214 |
| MX | 2003 | PA01 | 941 | | A | | 2003 | 0624 | | MX | 2003- | PA19 | 41 | | - 2 | 20030 | 305 |
| NO | 2003 | 0010 | 46 | | A | | 2003 | 0505 | | ИО | 2003- | 1046 | | | - 3 | 20030 | 306 |
| NO | 3242 | 52 | | | В1 | | 2007 | 0917 | | | | | | | | | |
| KR | 7704 | 78 | | | В1 | | 2007 | 1026 | | KR | 2003- | 7033 | 11 | | - 2 | 20030 | 306 |
| US | 2004 | 0039 | 013 | | A1 | | 2004 | 0226 | | US | 2003- | 3638 | 06 | | - 3 | 20030 | 627 |
| US | 6900 | 324 | | | B2 | | 2005 | 0531 | | | | | | | | | |
| HK | 1054 | 388 | | | A1 | | 2005 | 0408 | | HK | 2003- | 1066 | 57 | | - 2 | 20030 | 916 |
| US | 2006 | 0063 | 797 | | A1 | | 2006 | 0323 | | US | 2005- | 1073 | 52 | | | 20050 | 414 |
| PRIORITY | Y APP | LN. | INFO | .: | | | | | | SE | 2000- | 3186 | | | A : | 20000 | 907 |
| | | | | | | | | | | WO | 2001- | SE18 | 97 | | W : | 20010 | 905 |
| | | | | | | | | | | US | 2003-
2003-
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2001-
2003- | 3638 | 06 | | AI 2 | 20030 | 627 |
| OTHER SO | JURCE | (S): | | | MARE | AT | 136: | 24936 | 9 | | | | | | | | |

GI

AB Present invention provides a new process for large-scale preparation of substituted imidazopyridine compound of formula (I), wherein R1 = C1-6

alkoxy or NH2 group, comprising the step of reacting a compound of formula (II) with a 3-halo-2-butanone compound in cyclohexanone. Thus, 5.1 g 5,6-diaminonicotinic acid Me ester, 50 mL cyclohexanone, and 3.9 mL bromobutanone were agitated at 100° for 2.5 h to give Me

8-amino-2,3-dimethylimidazo[1,2-a]pyridine-6-carboxylate. 248919-64-4P

RL: IMF (Industrial manufacture); PREP (Preparation)

(process for preparing a substituted imidazopyridine compound)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pvridine-6-carboxamide, 8-[[(2,6-

dimethylphenyl)methyllaminol-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:708770 CAPLUS

DOCUMENT NUMBER: 131:322617

TITLE: Preparation of imidazopyridines which inhibit gastric acid secretion

INVENTOR(S): Amin, Kosrat; Dahlstrom, Michael; Nordberg, Peter; Starke, Ingemar

PATENT ASSIGNEE(S): Astra AB, Swed.

PCT Int. Appl., 77 pp.

CODEN: PIXXD2

Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

SOURCE:

| PA: | FENT | | | | KIN | D | DATE | | | APPL | ICAT | | DATE | | | | | |
|-----|------|-----|-----|-----|-----|-----|------|---------------------------|-----|------|------|------|------|-----|----------|------|-----|--|
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| | | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | |
| | | MN, | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | |
| | | TM, | TR, | TT, | UA, | UG, | US, | UZ, | VN, | YU, | ZA, | zw | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | SD, | SL, | SZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, | DK, | |
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 | W OR NO DE |
| R: AI, BE, CH, | DE, DK, ES, F | R, GB, GR, IT, LI, LU, | NL, SE, MC, PI, |
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| NO 2000005450 | A 200012 | 22 NO 2000-5450 | 20001027 |
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A1 200606 | 5 HK 2005-103979
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OTHER SOURCE(S): MARPAT 131:322617

GI

AB The title compds. [I; Rl = H, Me, CH2OH; R2 = Me, Et; R3 = H, alkyl, halo, etc.; R5 = H, halo; R6, R7 = H, alkyl, halo, etc.; R5 = H, halo; R6, R7 = H, alkyl, hydroxylated alkyl, etc.; X = NH, O] which inhibit exogenously or endogenously stimulated gastric acid secretion (no data) and thus can be used in the prevention and treatment of gastrointestinal inflammatory diseases, and for treatment or prophylaxis of conditions involving infection by Helicobacter pylori of human gastric mucosa, were prepared Thus, reacting Et 2,3-dimethyl-B-(2-ethyl-6-methylbenzylamino)-middazo[1,2-a]pyridine-6-carboxylate with propylamine in the presence of a cat. amount of NaCN in MeOH afforded 42% I [R1 = R2 = R4 = Me; R3 = Et; R5 = R7 = H; R6 = P1]. In general, compds. I are effective at 5-1000 mg/day.

IT 248919-64-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazopyridines which inhibit gastric acid secretion)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

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